ANSWER 1 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2005:902895 CAPLUS
DN 143:229860
TI Preparation of imidazopyridine derivatives for use in gastrointestinal disorders
IN Buhr, Wilm; Zimmermann, Peter Jan; Brehm, Christof; Palmer, Andreas; Kromer, Wolfgang; Postius, Stefan; Simon, Wolfgang-Alexander; Chiesa, M. Vittoria
PA Altana Pharma Ag, Germany
SO PCT Int. Appl., 41 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2005077949	A1	20050825	WO 2005-EP50667	20050216
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
			EP 2004-3467	A 20040217
			EP 2004-102627	A 20040609
			EP 2004-106802	A 20041221

GI

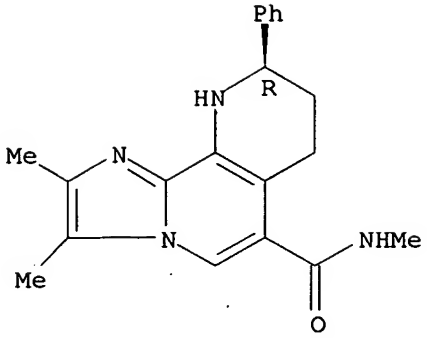
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R1 = H, hydroxyalkyl, cycloalkyl, etc.; R2 = H, halo, alkoxycarbonyl, etc.; R3 = halo, hydroxyalkyl, alkoxyalkyl, etc.; R4 is (CH2)CHCHR6 and R5 is NH2 or together they form substituted piperidine; R6 = substituted Ph, naphthyl, pyrrolyl, etc.] and their pharmaceutically acceptable salts, are prepared and disclosed as treatment of gastrointestinal disorders. Thus, e.g., II was prepared by amination of 2,3-dimethyl-8-phenyl-6,7,8,9-tetrahydro-1,3a-9-triazacyclopenta[a]-naphthalene-5-carboxylic acid (preparation given) with 2-methoxy-ethylamine. The gastric acid secretion-inhibiting ability of I was evaluated on the perfused rat stomach and it was revealed that selected compds. of the invention displayed inhibition of acid secretion >50% and other compds. <50%. I should prove useful in the treatment of gastrointestinal disorders. Pharmaceutical compns. comprising I are disclosed.

IT **862779-35-9P**
RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(preparation of imidazopyridine derivs. for use in gastrointestinal disorders)

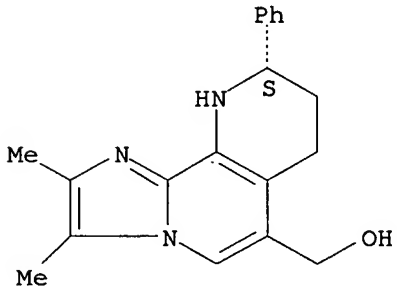
RN 862779-35-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry. Rotation (+).



IT **862779-62-2P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of imidazopyridine derivs. for use in gastrointestinal disorders)
RN 862779-62-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:1059201 CAPLUS
DN 142:32977
TI Pharmaceutical combinations of a proton pump inhibitor and a compound which modifies gastrointestinal motility
IN Zimmermann, Peter Jan; Chiesa, M. Vittoria; Palmer, Andreas; Brehm, Christof; Klein, Thomas; Senn-Bilfinger, Joerg; Simon, Wolfgang-Alexander; Kromer, Wolfgang; Grundler, Gerhard; Hanauer, Guido; Buhr, Wilm; Postius, Stefan
PA Altana Pharma A.-G., Germany
SO PCT Int. Appl., 102 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004105795	A1	20041209	WO 2004-EP50936	20040526
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,				

LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

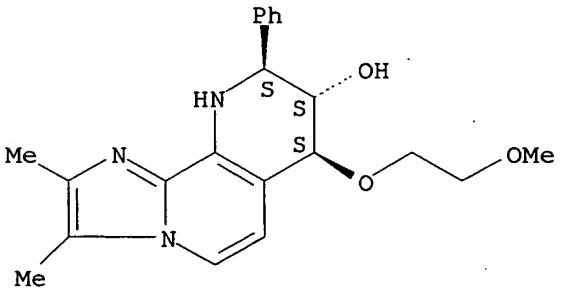
EP 2003-11875 A 20030527
EP 2004-102304 A 20040525

AB The invention relates to the combination of certain active compds. from the acid pump antagonist class and compds. which modify gastrointestinal motility. The acid pump antagonist class is selected from a tricyclic imidazopyridine and the gastrointestinal motility modifier is selected from a 5-HT-(partial)-agonist/antagonist.

IT **261944-49-4 267411-35-8 362524-94-5**
362524-98-9 362525-15-3 362525-60-8
363599-21-7 363599-26-2 364041-26-9
500129-27-1 620631-22-3 805244-69-3
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical combinations of proton pump inhibitor and modifier of gastrointestinal motility)

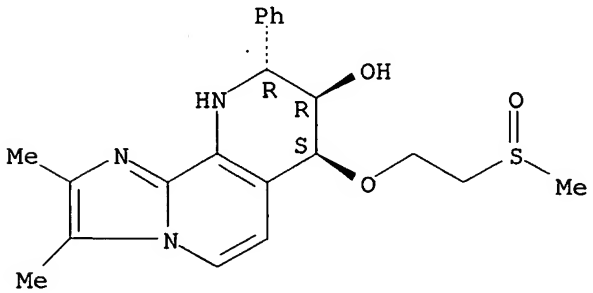
RN 261944-49-4 CAPLUS
CN Imidazo[1,2-h][1,7]naphthyridin-8-ol, 7,8,9,10-tetrahydro-7-(2-methoxyethoxy)-2,3-dimethyl-9-phenyl-, (7S,8S,9S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



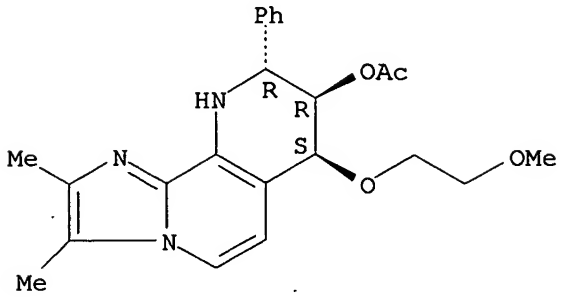
RN 267411-35-8 CAPLUS
CN Imidazo[1,2-h][1,7]naphthyridin-8-ol, 7,8,9,10-tetrahydro-2,3-dimethyl-7-[2-(methylsulfinyl)ethoxy]-9-phenyl-, (7S,8R,9R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



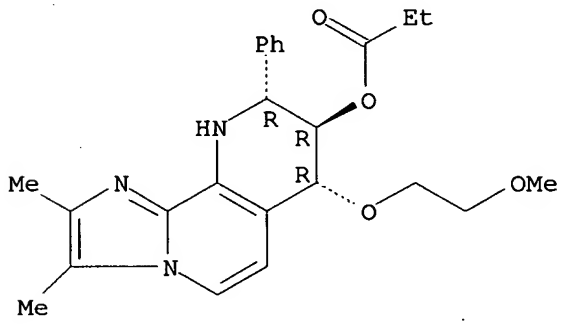
RN 362524-94-5 CAPLUS
CN Imidazo[1,2-h][1,7]naphthyridin-8-ol, 7,8,9,10-tetrahydro-7-(2-methoxyethoxy)-2,3-dimethyl-9-phenyl-, acetate (ester), (7S,8R,9R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



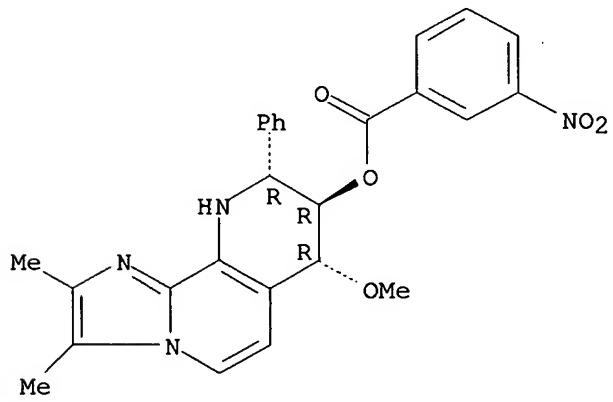
RN 362524-98-9 CAPLUS
CN Imidazo[1,2-h][1,7]naphthyridin-8-ol, 7,8,9,10-tetrahydro-7-(2-methoxyethoxy)-2,3-dimethyl-9-phenyl-, propanoate (ester), (7R,8R,9R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



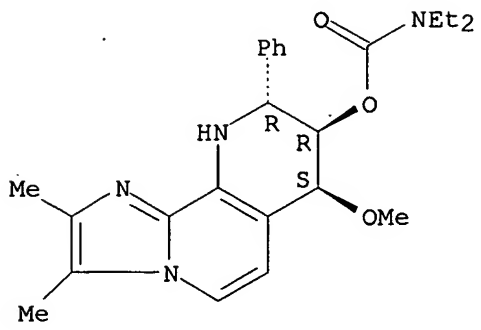
RN 362525-15-3 CAPLUS
CN Imidazo[1,2-h][1,7]naphthyridin-8-ol, 7,8,9,10-tetrahydro-7-methoxy-2,3-dimethyl-9-phenyl-, 3-nitrobenzoate (ester), (7R,8R,9R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



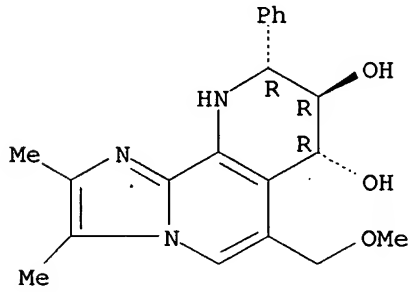
RN 362525-60-8 CAPLUS
CN Carbamic acid, diethyl-, (7S,8R,9R)-7,8,9,10-tetrahydro-7-methoxy-2,3-dimethyl-9-phenylimidazo[1,2-h][1,7]naphthyridin-8-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



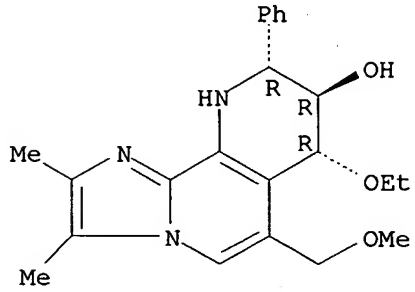
RN 363599-21-7 CAPLUS
CN Imidazo[1,2-h][1,7]naphthyridine-7,8-diol, 7,8,9,10-tetrahydro-6-(methoxymethyl)-2,3-dimethyl-9-phenyl-, (7R,8R,9R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



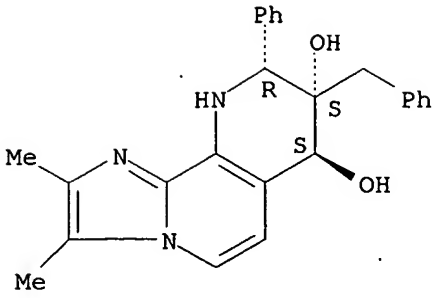
RN 363599-26-2 CAPLUS
CN Imidazo[1,2-h][1,7]naphthyridin-8-ol, 7-ethoxy-7,8,9,10-tetrahydro-6-(methoxymethyl)-2,3-dimethyl-9-phenyl-, (7R,8R,9R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



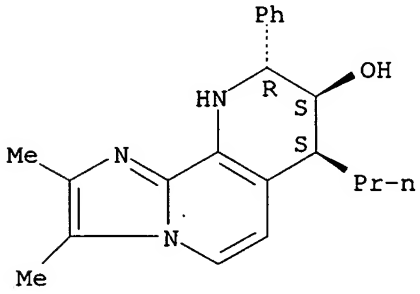
RN 364041-26-9 CAPLUS
CN Imidazo[1,2-h][1,7]naphthyridine-7,8-diol, 7,8,9,10-tetrahydro-2,3-dimethyl-9-phenyl-8-(phenylmethyl)-, (7S,8S,9R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



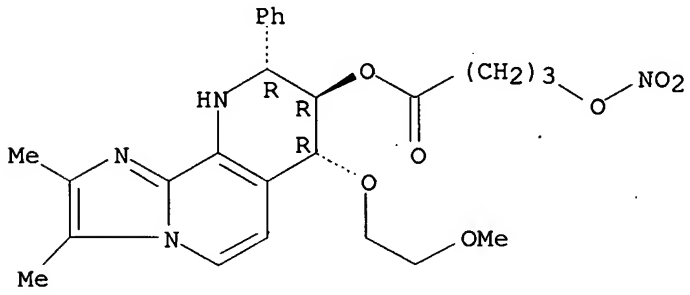
RN 500129-27-1 CAPLUS
CN Imidazo[1,2-h][1,7]naphthyridin-8-ol, 7,8,9,10-tetrahydro-2,3-dimethyl-9-phenyl-7-propyl-, (7S,8S,9R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



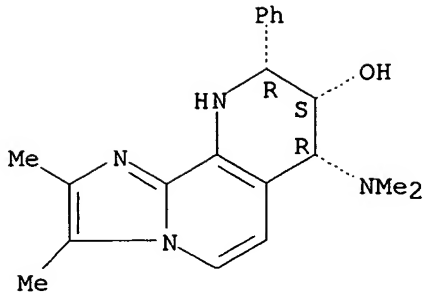
RN 620631-22-3 CAPLUS
CN Butanoic acid, 4-(nitrooxy)-, (7R,8R,9R)-7,8,9,10-tetrahydro-7-(2-methoxyethoxy)-2,3-dimethyl-9-phenylimidazo[1,2-h][1,7]naphthyridin-8-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 805244-69-3 CAPLUS
CN Imidazo[1,2-h][1,7]naphthyridin-8-ol, 7-(dimethylamino)-7,8,9,10-tetrahydro-2,3-dimethyl-9-phenyl-, (7R,8S,9R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

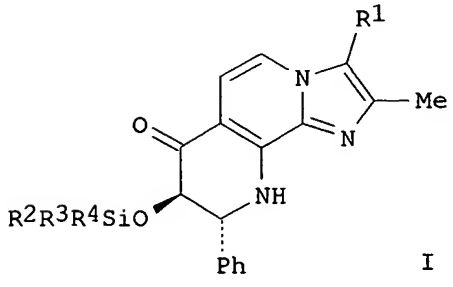


RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

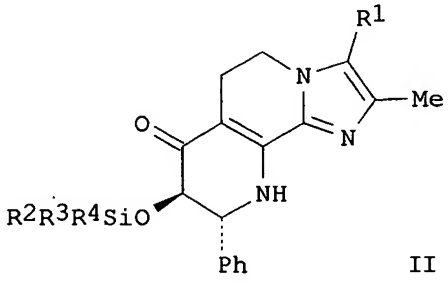
L3 ANSWER 3 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:857607 CAPLUS
DN 141:332317
TI Process for preparation of silyl ether-protected tricyclic imidazopyridin-8-ones by dehydrogenation of tetrahydro-triaza-cyclopenta[a]naphthalen-6-one derivatives with NBS
IN Alsters, Paulus Lambertus; Mink, Daniel
PA Altana Pharma Ag, Germany
SO PCT Int. Appl., 12 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004087718	A1	20041014	WO 2004-EP50414	20040401
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
			EP 2003-7663	A 20030403

OS CASREACT 141:332317; MARPAT 141:332317
GI



I



II

AB Tricyclic imidazopyridin-8-one derivs. 7-(trialkylsiloxy)-2-methyl-3-alkyl-

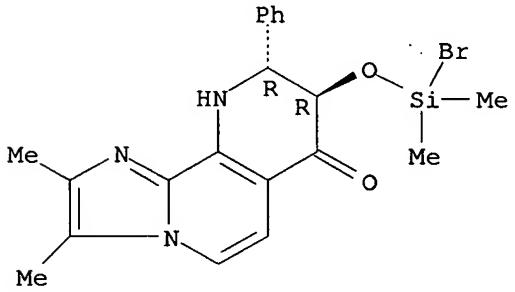
8-phenyl-8,9-dihydro-7H-1,3a,9-triazacyclopenta[a]naphthalen-6-ones (I; R1 = H, Me, HOCH2, preferably Me; R2 = C1-7 alkyl, preferably Br, Me3C; R3, R4 = C1-7 alkyl, preferably Me), useful as intermediates for production of medicaments for treating gastric and intestinal disorders (no data), by dehydrogenation of the corresponding 5,7,8,9-tetrahydro derivs. (II; same R1-R4) with NBS as oxidizing agent at -70 to 50°, preferably 0-30°, in an inert organic solvent, and subsequent removal of generated HBr with triethylamine. In an example, treating 59.1 mmol II [R1 = R3 = R4 = Me, R2 = Me3C; preparation given starting from (R,R)-phenylisoserine] with 1 equiv NBS in 100 mL MeCN, followed by treatment with 22.5 mL Et3N gave I (same R1-R4), which was deprotected with aqueous HCl.

IT **770719-65-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of silyl ether-protected tricyclic imidazopyridin-8-ones by dehydrogenation of tetrahydro-triaza-cyclopenta[a]naphthalen-6-one derivs. with NBS as oxidizing agent)

RN 770719-65-8 CAPLUS

CN Imidazo[1,2-h][1,7]naphthyridin-7(8H)-one, 8-[(bromodimethylsilyl)oxy]-9,10-dihydro-2,3-dimethyl-9-phenyl-, (8R,9R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:698112 CAPLUS

DN 141:200194

TI New combinations and new use of selected pharmaceutically active tricyclic imidazo[1,2-a]pyridine compounds for preventing or treating medicament-caused gastrointestinal diseases

IN Zimmermann, Peter Jan; Palmer, Andreas; Brehm, Christof; Klein, Thomas; Senn-Bilfinger, Joerg; Simon, Wolfgang-Alexander; Postius, Stefan; Chiesa, M. Vittoria; Buhr, Wilm; Kromer, Wolfgang

PA Altana Pharma Ag, Germany

SO PCT Int. Appl., 97 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004071391	A2	20040826	WO 2004-EP50138	20040216
WO 2004071391	A3	20050512		

W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC,

LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

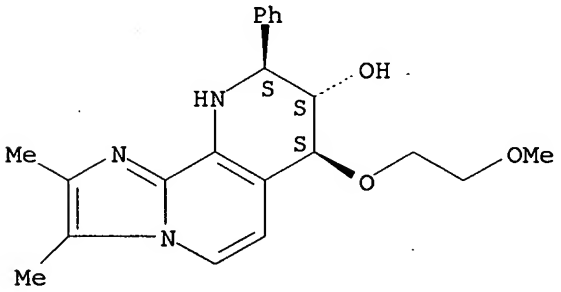
EP 2003-3530 A 20030217

AB The present invention relates to new combinations and new use of certain selected tricyclic imidazo[1,2-a]pyridine compds. in the prevention or treatment of medicament-caused gastrointestinal diseases. At 3.0 μmol/kg, (7R,8R,9R)-8-hydroxy-7-(2-methoxyethoxy)-2,3-dimethyl-9-phenyl-7,8,9,10-tetrahydroimidazo[1,2-h][1,7]naphthyridine reduced gastric lesions induced by 100 mg/kg acetylsalicylic acid in rats.

IT **261944-49-4 267411-35-8 362524-94-5 362524-98-9 362525-15-3 362525-60-8 363599-21-7 363599-26-2 364041-26-9 620631-22-3**
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(new combinations and new use of selected pharmaceutically active tricyclic imidazo[1,2-a]pyridine compds. for preventing or treating medicament-caused gastrointestinal diseases)

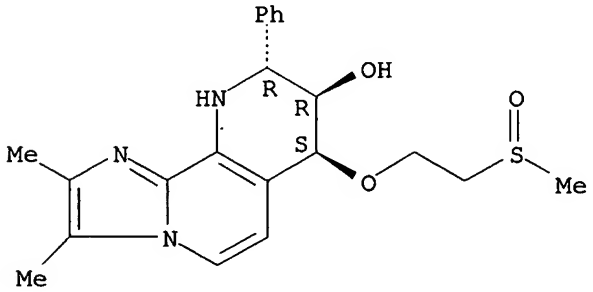
RN 261944-49-4 CAPLUS
CN Imidazo[1,2-h][1,7]naphthyridin-8-ol, 7,8,9,10-tetrahydro-7-(2-methoxyethoxy)-2,3-dimethyl-9-phenyl-, (7S,8S,9S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 267411-35-8 CAPLUS
CN Imidazo[1,2-h][1,7]naphthyridin-8-ol, 7,8,9,10-tetrahydro-2,3-dimethyl-7-[2-(methylsulfinyl)ethoxy]-9-phenyl-, (7S,8R,9R)- (9CI) (CA INDEX NAME)

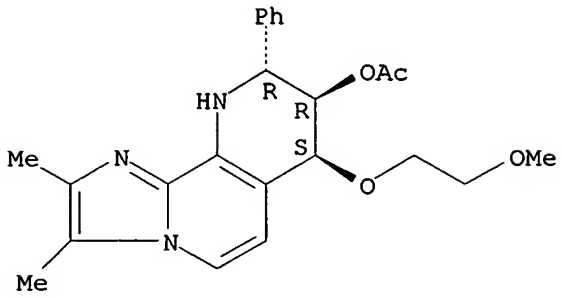
Absolute stereochemistry.



RN 362524-94-5 CAPLUS
CN Imidazo[1,2-h][1,7]naphthyridin-8-ol, 7,8,9,10-tetrahydro-7-(2-methoxyethoxy)-2,3-dimethyl-9-phenyl-, acetate (ester), (7S,8R,9R)- (9CI)

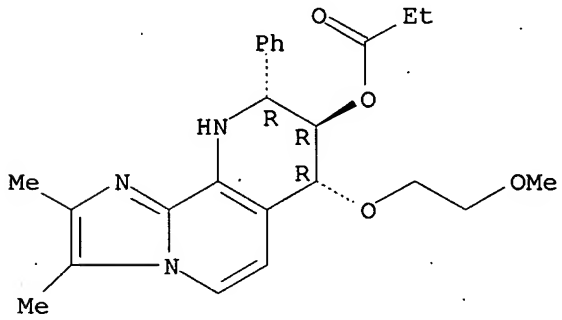
(CA INDEX NAME)

Absolute stereochemistry.



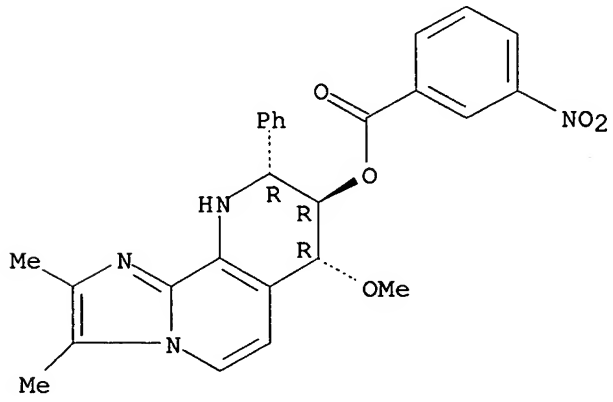
RN 362524-98-9 CAPLUS
CN Imidazo[1,2-h][1,7]naphthyridin-8-ol, 7,8,9,10-tetrahydro-7-(2-methoxyethoxy)-2,3-dimethyl-9-phenyl-, propanoate (ester), (7R,8R,9R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 362525-15-3 CAPLUS
CN Imidazo[1,2-h][1,7]naphthyridin-8-ol, 7,8,9,10-tetrahydro-7-methoxy-2,3-dimethyl-9-phenyl-, 3-nitrobenzoate (ester), (7R,8R,9R)- (9CI) (CA INDEX NAME)

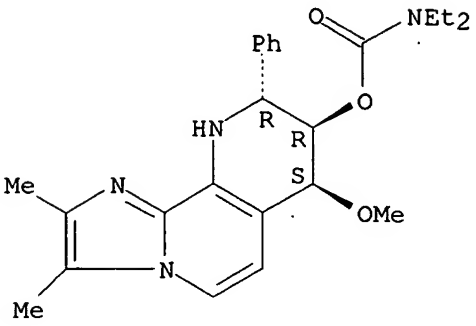
Absolute stereochemistry.



RN 362525-60-8 CAPLUS
CN Carbamic acid, diethyl-, (7S,8R,9R)-7,8,9,10-tetrahydro-7-methoxy-2,3-dimethyl-9-phenylimidazo[1,2-h][1,7]naphthyridin-8-yl ester (9CI) (CA

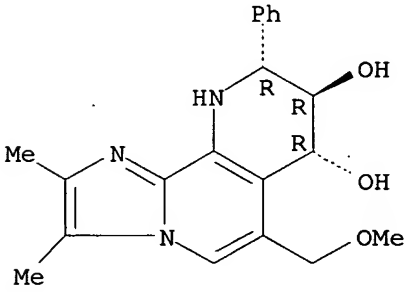
INDEX NAME)

Absolute stereochemistry.



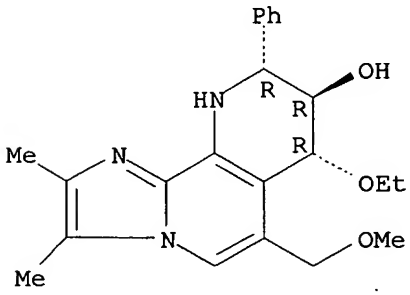
RN 363599-21-7 CAPLUS
CN Imidazo[1,2-h][1,7]naphthyridine-7,8-diol, 7,8,9,10-tetrahydro-6-(methoxymethyl)-2,3-dimethyl-9-phenyl-, (7R,8R,9R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



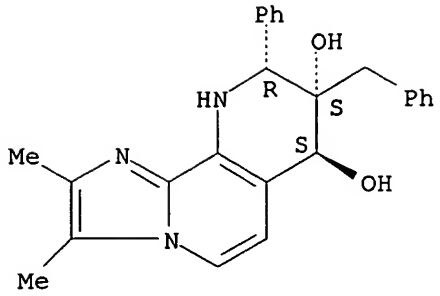
RN 363599-26-2 CAPLUS
CN Imidazo[1,2-h][1,7]naphthyridin-8-ol, 7-ethoxy-7,8,9,10-tetrahydro-6-(methoxymethyl)-2,3-dimethyl-9-phenyl-, (7R,8R,9R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



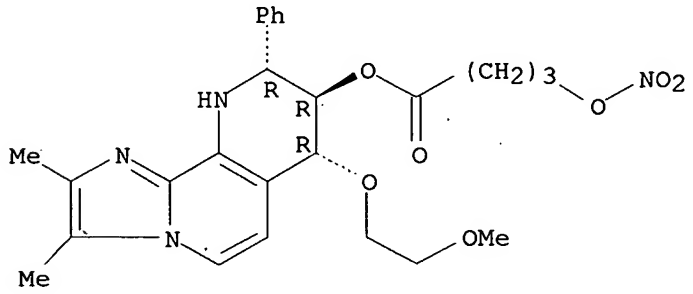
RN 364041-26-9 CAPLUS
CN Imidazo[1,2-h][1,7]naphthyridine-7,8-diol, 7,8,9,10-tetrahydro-2,3-dimethyl-9-phenyl-8-(phenylmethyl)-, (7S,8S,9R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 620631-22-3 CAPLUS
CN Butanoic acid, 4-(nitrooxy)-, (7R,8R,9R)-7,8,9,10-tetrahydro-7-(2-methoxyethoxy)-2,3-dimethyl-9-phenylimidazo[1,2-h][1,7]naphthyridin-8-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L3 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2003:875288 CAPLUS
DN 139:364931
TI Preparation of nitrosated tricyclic imidazopyridine derivatives as gastric secretion-inhibitor and anti-inflammatory and antibacterial agents
IN Buhr, Wilm; Senn-Bilfinger, Joerg; Zimmermann, Peter Jan
PA Altana Pharma Ag, Germany
SO PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
PI	WO 2003091253	A1	20031106	WO 2003-EP4134		20030422
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	RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR					
				EP 2002-9104	A	20020424
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				EP 2002-9104	A	20020424
				WO 2003-EP4134	W	20030422
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				EP 2002-9104	A	20020424
				WO 2003-EP4134	W	20030422
	EP 1504003	A1	20050209	EP 2003-720509		20030422
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,					

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

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			WO 2003-EP4134	W	20030422
JP 2005524697	T2	20050818	JP 2003-587811		20030422
			EP 2002-9104	A	20020424
			WO 2003-EP4134	W	20030422

OS MARPAT 139:364931

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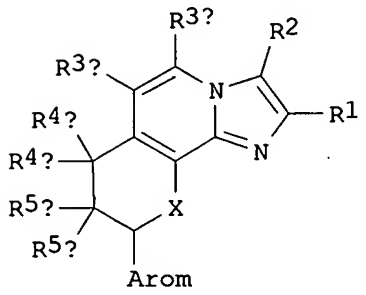
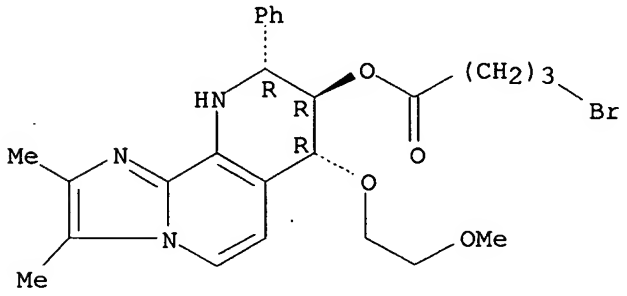


I

AB The invention relates to nitrosated tricyclic imidazopyridines (e.g. 7,8,9,10-tetrahydroimidazo[1,2-h][1,7]naphthyridine) of formula (I) [R1 = H, C1-4 alkyl, C3-7 cycloalkyl, C3-7 cycloalkyl-C1-4 alkyl, C1-4 alkoxy, C1-4 alkoxy-C1-4 alkyl, C1-4 alkoxycarbonyl, C2-4 alkenyl, C2-4 alkynyl, fluoro-C1-4 alkyl, hydroxy-C1-4 alkyl; R2 = H, C1-4 alkyl, aryl, C3-7 cycloalkyl, C3-7 cycloalkyl-C1-4 alkyl, C1-4 alkoxycarbonyl, hydroxy-C1-4 alkyl, halogen, C2-4 alkenyl, C2-4 alkynyl, fluoro-C1-4 alkyl, cyanomethyl, etc.; R3a, R3b = H, halogen, fluoro-C1-4 alkyl, C1-4 alkyl, C2-4 alkenyl, C2-4 alkynyl, CO2H, -CO-C1-4 alkoxy, hydroxy-C1-4 alkyl, C1-4 alkoxy-C1-4 alkyl, C1-4 alkoxy-C1-4 alkoxy-C1-4 alkyl, fluoro-C1-4 alkoxy-C1-4 alkyl, (un)substituted CONH2; one of R4a and R4b or one of R5a and R5b = H, C1-7 alkyl, C2-7 alkenyl, Ph or phenyl-C1-4 alkyl and the other = HO, C1-4 alkoxy, oxo-substituted C1-4 alkoxy, C3-7 cycloalkoxy, C3-7 cycloalkyl-C1-4 alkoxy, hydroxy-C1-4 alkoxy, C1-4 alkoxy-C1-4 alkoxy, C1-4 alkoxy-C1-4 alkoxy-C1-4 alkoxy, C3-7 cycloalkoxy-C1-4 alkoxy, C3-7 cycloalkyl-C1-4 alkoxy-C1-4 alkoxy, C1-4 alkylcarbonyloxy, wholly or mainly halogen-substituted C1-4 alkoxy, etc. or in which R4a and R4b or R5a and R5b together are O (oxygen) or are C1-7 alkylidene; Arom = (un)substituted mono- or bicyclic aromatic radical; X = O or NH]. Also disclosed is the use of the compds. I for the prevention and treatment of gastrointestinal illnesses. These compds. are acid pump antagonists (APAs) with less side effects than known APAs and have an antibacterial activity against Helicobacter bacteria with less side effects than known compds. with such activity and NO (nitric oxide) releasing activity, in which the effect against Helicobacter bacteria is synergistically enhanced on account of the gastric acid inhibiting activity of these compds. They exhibit a marked inhibition of gastric secretion and an excellent gastric and intestinal protective action in warm-blooded animals, in particular humans. Due to gastric and intestinal protection, they are useful for the prevention and treatment of gastrointestinal diseases, in particular of gastrointestinal inflammatory diseases and lesions (e.g. gastric ulcer, peptic ulcer, including peptic ulcer bleeding, duodenal ulcer, gastritis, hyperacidic or medicament-related functional dyspepsia), which can be caused, for example, by microorganisms (e.g. Helicobacter pylori), bacterial toxins, medicaments (e.g. certain antiinflammatories and antirheumatics, such as NSAIDs and COX-inhibitors), chems. (e.g. ethanol), gastric acid or stress situations.

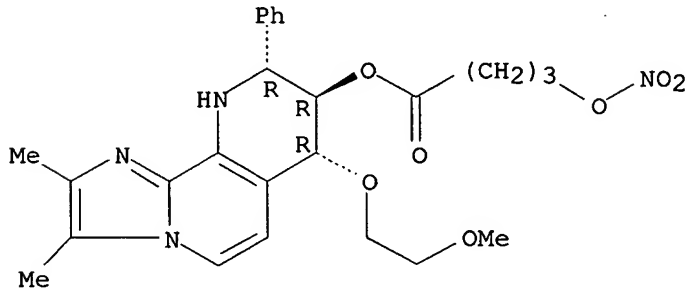
IT **620631-24-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of nitrosated tricyclic imidazopyridine derivs. as gastric secretion-inhibitor and anti-inflammatory and antibacterial agents for prevention and treatment of gastrointestinal diseases)
RN 620631-24-5 CAPLUS
CN Butanoic acid, 4-bromo-, (7R,8R,9R)-7,8,9,10-tetrahydro-7-(2-methoxyethoxy)-2,3-dimethyl-9-phenylimidazo[1,2-h][1,7]naphthyridin-8-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **620631-22-3P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of nitrosated tricyclic imidazopyridine derivs. as gastric secretion-inhibitor and anti-inflammatory and antibacterial agents for prevention and treatment of gastrointestinal diseases)
RN 620631-22-3 CAPLUS
CN Butanoic acid, 4-(nitrooxy)-, (7R,8R,9R)-7,8,9,10-tetrahydro-7-(2-methoxyethoxy)-2,3-dimethyl-9-phenylimidazo[1,2-h][1,7]naphthyridin-8-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 6 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2003:417606 CAPLUS
DN 139:946
TI Reversible proton pump inhibitors for the treatment of airway disorders
IN Senn-Bilfinger, Joerg; Kassel, Gerd; Hanauer, Guido; Buhr, Wilm; Simon, Wolfgang-Alexander
PA Altana Pharma A.-G., Germany
SO PCT Int. Appl., 18 pp.

CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003043614	A2	20030530	WO 2002-EP12864	20021116
WO 2003043614	A3	20040311		
W: AE, AL, AU, BA, BR, CA, CN, CO, CU, DZ, EC, GE, HR, HU, ID, IL, IN, IS, JP, KR, LT, LV, MA, MK, MX, NO, NZ, PH, PL, RO, SG, SI, TN, UA, US, VN, YU, ZA, ZW				
RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR				
			EP 2001-642	A 20011119
CA 2467652	AA	20030530	CA 2002-2467652	20021116
			EP 2001-642	A 20011119
			WO 2002-EP12864	W 20021116
EP 1453493	A2	20040908	EP 2002-779565	20021116
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
			EP 2001-642	A 20011119
			WO 2002-EP12864	W 20021116
US 2005020637	A1	20050127	US 2004-495804	20040517
			EP 2001-642	A 20011119
			WO 2002-EP12864	W 20021116

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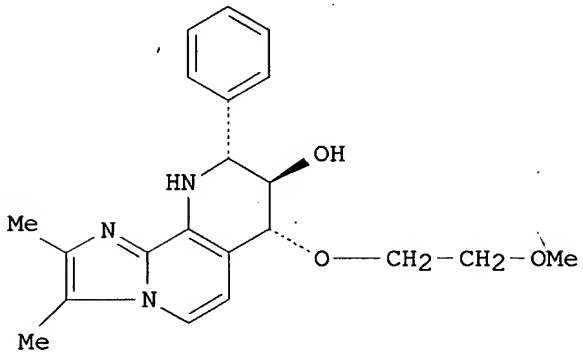


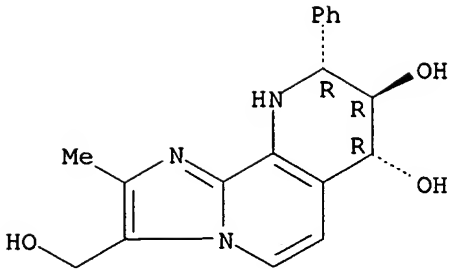
I

AB The invention relates to the use of reversible proton pump inhibitors such as I in the treatment of airway disorders.

IT **214194-04-4 261944-49-4 267411-35-8 362524-94-5 362524-98-9 362525-15-3 362525-60-8 363599-21-7 363599-26-2 364041-26-9**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(reversible proton pump inhibitors for the treatment of airway disorders)

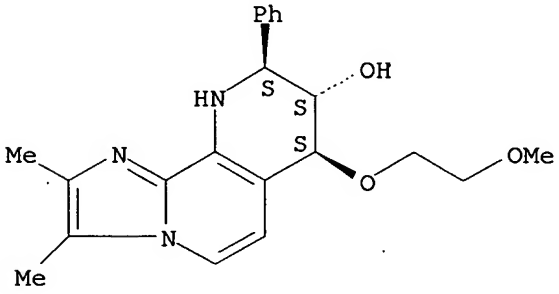
RN 214194-04-4 CAPLUS
CN Imidazo[1,2-h][1,7]naphthyridine-7,8-diol, 7,8,9,10-tetrahydro-3-(hydroxymethyl)-2-methyl-9-phenyl-, (7R,8R,9R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



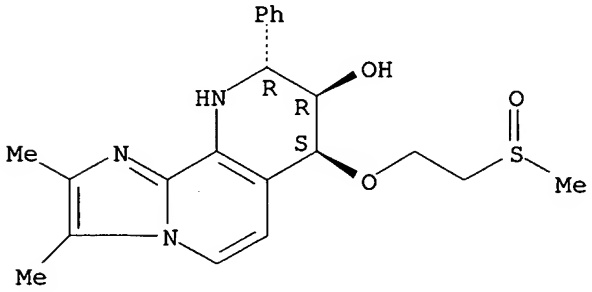
RN 261944-49-4 CAPLUS
CN Imidazo[1,2-h][1,7]naphthyridin-8-ol, 7,8,9,10-tetrahydro-7-(2-methoxyethoxy)-2,3-dimethyl-9-phenyl-, (7S,8S,9S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



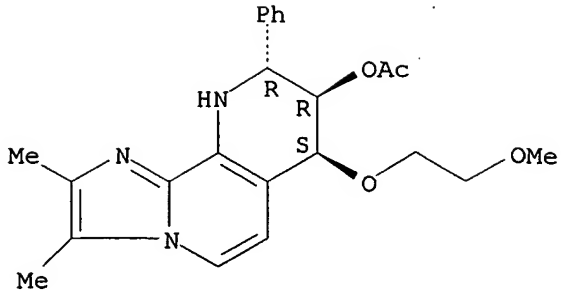
RN 267411-35-8 CAPLUS
CN Imidazo[1,2-h][1,7]naphthyridin-8-ol, 7,8,9,10-tetrahydro-2,3-dimethyl-7-[2-(methylsulfinyl)ethoxy]-9-phenyl-, (7S,8R,9R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



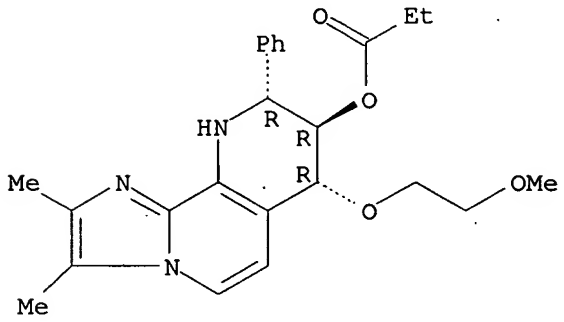
RN 362524-94-5 CAPLUS
CN Imidazo[1,2-h][1,7]naphthyridin-8-ol, 7,8,9,10-tetrahydro-7-(2-methoxyethoxy)-2,3-dimethyl-9-phenyl-, acetate (ester), (7S,8R,9R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



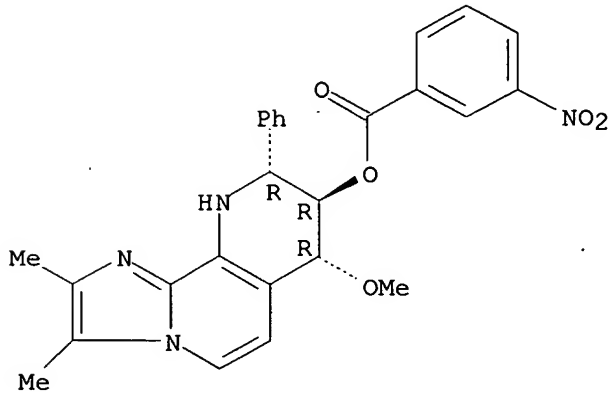
RN 362524-98-9 CAPLUS
CN Imidazo[1,2-h][1,7]naphthyridin-8-ol, 7,8,9,10-tetrahydro-7-(2-methoxyethoxy)-2,3-dimethyl-9-phenyl-, propanoate (ester), (7R,8R,9R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



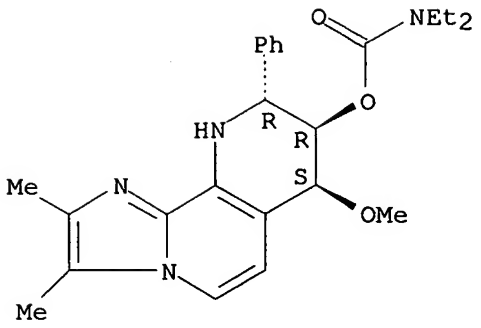
RN 362525-15-3 CAPLUS
CN Imidazo[1,2-h][1,7]naphthyridin-8-ol, 7,8,9,10-tetrahydro-7-methoxy-2,3-dimethyl-9-phenyl-, 3-nitrobenzoate (ester), (7R,8R,9R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



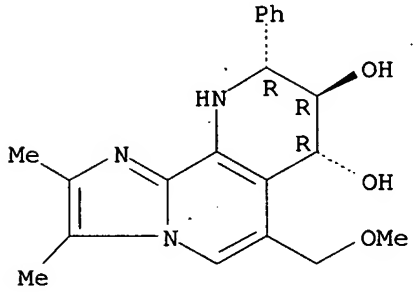
RN 362525-60-8 CAPLUS
CN Carbamic acid, diethyl-, (7S,8R,9R)-7,8,9,10-tetrahydro-7-methoxy-2,3-dimethyl-9-phenylimidazo[1,2-h][1,7]naphthyridin-8-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



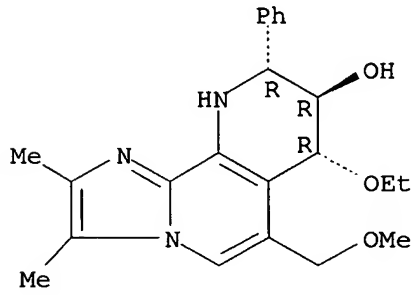
RN 363599-21-7 CAPLUS
CN Imidazo[1,2-h][1,7]naphthyridine-7,8-diol, 7,8,9,10-tetrahydro-6-(methoxymethyl)-2,3-dimethyl-9-phenyl-, (7R,8R,9R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



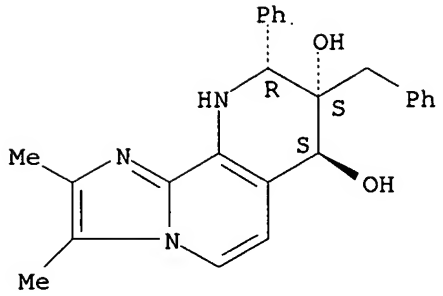
RN 363599-26-2 CAPLUS
CN Imidazo[1,2-h][1,7]naphthyridin-8-ol, 7-ethoxy-7,8,9,10-tetrahydro-6-(methoxymethyl)-2,3-dimethyl-9-phenyl-, (7R,8R,9R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 364041-26-9 CAPLUS
CN Imidazo[1,2-h][1,7]naphthyridine-7,8-diol, 7,8,9,10-tetrahydro-2,3-dimethyl-9-phenyl-8-(phenylmethyl)-, (7S,8S,9R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L3 ANSWER 7 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2003:154430 CAPLUS
DN 138:205058
TI Preparation of alkyl-substituted imidazonaphthyridines for the treatment of gastrointestinal disorders
IN Buhr, Wilm; Simon, Wolfgang-Alexander; Postius, Stefan; Kromer, Wolfgang; Sturm, Ernst; Senn-Bilfinger, Joerg; Zimmermann, Peter Jan
PA Altana Pharma AG, Germany
SO PCT Int. Appl., 35 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003016310	A1	20030227	WO 2002-EP8498	20020731
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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR				
			EP 2001-118674	A 20010803
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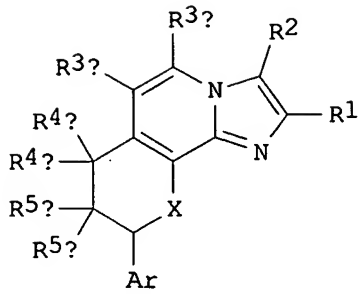
			EP 2001-118674	A 20010803
US 2004235883	A1	20041125	US 2004-485514	20040202
			EP 2001-118674	A 20010803
			WO 2002-EP8498	W 20020731

OS MARPAT 138:205058
GI



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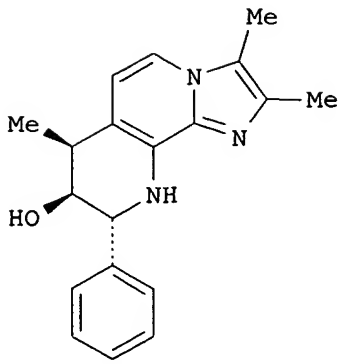


II

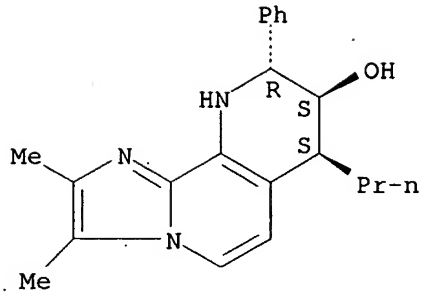
AB Title compds. I [wherein R1 = H, (fluoro)alkyl, cycloalkyl(alkyl), alkoxy(alkyl), alkoxycarbonyl, alkenyl, alkynyl, or hydroxyalkyl; R2 = H, (fluoro)alkyl, cycloalkyl(alkyl), alkoxycarbonyl, hydroxyalkyl, halo, alkenyl, alkynyl, or cyanomethyl; R3a and R3b = independently H, halo, (fluoro)alkyl, alkenyl, alkynyl, alkoxycarboxyl, alkoxycarbonyl, hydroxyalkyl, (alkoxy)alkoxyalkyl, fluoroalkoxyalkyl, or CONR31R32; R31 and R32 = independently H, (hydroxy)alkyl, or alkoxyalkyl; or NR31R32 = pyrrolidino, piperidino, or morpholino; one of R4a and R4b = H and the other = R41; R41 = (cyclo)alkyl, alkenyl, alkoxyalkyl, cyanoalkyl, or phenyl(alkyl); one of R5a and R5b = H and the other = OH, alkoxy, oxo-substituted (cyclo)alkoxy, cycloalkylalkoxy(alkoxy), (cyclo)alkoxyalkoxy, alkylcarbonyloxy, haloalkoxy, or R51; R51 = a radical that forms an OH group under physiol. conditions; Ar = (un)substituted Ph, naphthyl, pyrrolyl, pyrazolyl, imidazolyl, triazolyl, indolyl, benzimidazolyl, (benzo)furyl, (benzo)thienyl, isoxazolyl, pyridinyl, pyrimidinyl, or (iso)quinolinyl; X = O or NH; and pharmaceutically acceptable salts and stereoisomers thereof] were prepared for preventing and treating gastrointestinal disorders. For example, acetylation of (8R,9R)-2,3-dimethyl-8-hydroxy-9-phenyl-7,8,9,10-tetrahydroimidazo[1,2-h][1.7]naphthyridin-7-one, stereoselective reduction to the alc. using Na borohydride, epoxidn. using PBu3 and diisopropyl azodicarboxylate (92%), and methylation with MeMgBr in THF gave II (15%). The latter inhibited pentagastrin-stimulated acid secretion of the perfused rat stomach by 93% at a dose of 1 μmol/kg i.d.

IT **500129-27-1P**, (7S,8S,9R)-8-Hydroxy-2,3-dimethyl-7-propyl-9-phenyl-7,8,9,10-tetrahydroimidazo[1,2-h][1,7]naphthyridine
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(gastrointestinal agent; preparation of alkyl-substituted imidazonaphthyridines for treatment of gastrointestinal disorders)

RN 500129-27-1 CAPLUS

CN Imidazo[1,2-h][1,7]naphthyridin-8-ol, 7,8,9,10-tetrahydro-2,3-dimethyl-9-phenyl-7-propyl-, (7S,8S,9R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

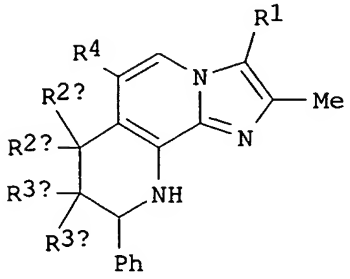
L3 ANSWER 8 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2001:730750 CAPLUS
DN 135:272964
TI Preparation of tricyclic imidazopyridines
IN Simon, Wolfgang-Alexander; Postius, Stefan; Kromer, Wolfgang; Senn-Bilfinger, Joerg; Buhr, Wilm; Huber, Reinhard; Sturm, Ernst
PA Byk Gulden Lomberg Chemische Fabrik G.m.b.H., Germany
SO PCT Int. Appl., 32 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
PI	WO 2001072757	A1	20011004	WO 2001-EP3603		20010329
	W: AE, AL, AU, BA, BG, BR, CA, CN, CO, CZ, EE, GE, HR, HU, ID, IL, IN, JP, KR, LT, LV, MK, MX, NO, NZ, PL, RO, SG, SI, SK, UA, US, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR					
				EP 2000-106688	A	20000329
				DE 2000-10026287	A	20000526
				DE 2000-10039689	A	20000814
	CA 2404477	AA	20011004	CA 2001-2404477		20010329
				EP 2000-106688	A	20000329
				DE 2000-10026287	A	20000526
				DE 2000-10039689	A	20000814
				WO 2001-EP3603	W	20010329
	AU 2001054756	A5	20011008	AU 2001-54756		20010329
				EP 2000-106688	A	20000329
				DE 2000-10026287	A	20000526
				DE 2000-10039689	A	20000814
				WO 2001-EP3603	W	20010329
	BR 2001009512	A	20021217	BR 2001-9512		20010329
				EP 2000-106688	A	20000329
				DE 2000-10026287	A	20000526
				DE 2000-10039689	A	20000814
				WO 2001-EP3603	W	20010329
	EP 1303519	A1	20030423	EP 2001-927836		20010329
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
				EP 2000-106688	A	20000329
				DE 2000-10026287	A	20000526
				DE 2000-10039689	A	20000814
				WO 2001-EP3603	W	20010329
	JP 2003528879	T2	20030930	JP 2001-570666		20010329
				EP 2000-106688	A	20000329

			DE 2000-10026287	A	20000526
			DE 2000-10039689	A	20000814
			WO 2001-EP3603	W	20010329
ZA 2002007634	A	20040408	ZA 2002-7634		20020923
			EP 2000-106688	A	20000329
US 2003139412	A1	20030724	US 2002-182654		20021004
US 6696461	B2	20040224			
			EP 2000-106688	A	20000329
			DE 2000-10026287	A	20000526
			DE 2000-10039689	A	20000814
			WO 2001-EP3603	W	20010329

OS MARPAT 135:272964

GI



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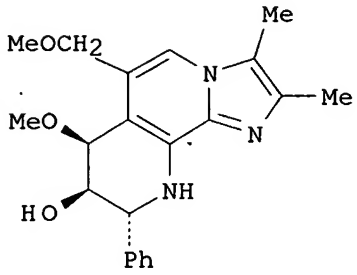


II

AB The title compds. I (R1 = Me, hydroxymethyl; one of R2a and R2b is H and the other is H, HO, methoxy, ethoxy, propoxy, isopropoxy, butoxy, methoxy, methoxypropoxy; one of R3a and R3b is H and the other is H, HO, methoxy, ethoxy, propoxy, isopropoxy, butoxy, methoxy, methoxypropoxy; R4 = H, carboxyl, alkoxycarbonyl, hydroxyalkyl, alkoxyalkoxyalkyl, fluoroalkoxyalkyl, carbamoyl; X = O, NH) were prepared for the prevention and treatment of gastrointestinal diseases. Thus, 6-(methoxymethyl)-2,2-dimethyl-5,6,7,8-tetrahydroimidazo[1,2-a]pyridin-8-one, prepared in 5 steps from 2-amino-2,3-dimethylpyridine and 3-bromo-2-butanone, was cyclized with (2R,3R)-3-amino-2-(tert-butyldimethylsiloxy)-3-phenylpropionate ro give (8R,9R)-8-(tert-butyldimethylsiloxy)-6-(methoxymethyl)-2,3-dimethyl-9-phenyl-5,6,7,8,9,10,-hexahydroimidazo[1,2-h][1,7]naphthyridin-7-one, which was converted to (7S,8R,9R)-8-hydroxy-7-methoxy-6-(methoxymethyl)-2,3-dimethyl-9-phenyl-7,8,9,10-tetrahydroimidazo[1,2-h][1,7]naphthyridine (II) in 4 steps. At 3 μmol/kg (i.v.) II inhibited pentagastrin stimulated acid secretion of the perfused rat stomach by 100%.

IT **363599-21-7P**

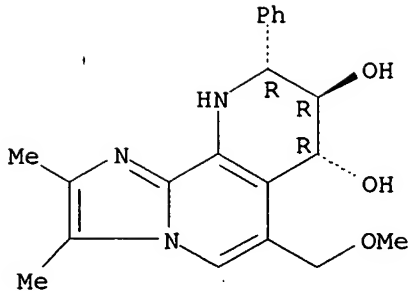
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of tricyclic imidazopyridines for treatment of gastrointestinal diseases)

RN 363599-21-7 CAPLUS

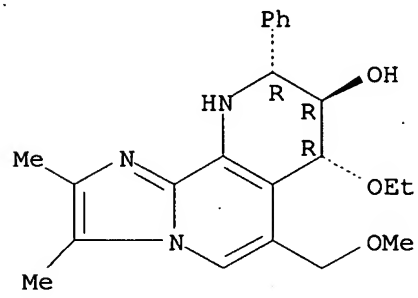
CN Imidazo[1,2-h][1,7]naphthyridine-7,8-diol, 7,8,9,10-tetrahydro-6-(methoxymethyl)-2,3-dimethyl-9-phenyl-, (7R,8R,9R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **363599-26-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tricyclic imidazopyridines for treatment of gastrointestinal diseases)
RN 363599-26-2 CAPLUS
CN Imidazo[1,2-h][1,7]naphthyridin-8-ol, 7-ethoxy-7,8,9,10-tetrahydro-6-(methoxymethyl)-2,3-dimethyl-9-phenyl-, (7R,8R,9R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2001:730749 CAPLUS
DN 135:272986
TI Preparation of imidazopyridine prodrugs for prevention and treatment of gastrointestinal diseases
IN Simon, Wolfgang-Alexander; Postius, Stefan; Huber, Reinhard; Kromer, Wolfgang; Senn-Bilfinger, Joerg; Buhr, Wilm
PA Byk Gulden Lomberg Chemische Fabrik G.m.b.H., Germany
SO PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001072756	A1	20011004	WO 2001-EP3514	20010328
W: AE, AL, AU, BA, BG, BR, CA, CN, CO, CZ, EE, GE, HR, HU, ID, IL, IN, JP, KR, LT, LV, MK, MX, NO, NZ, PL, RO, SG, SI, SK, UA, US, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
			EP 2000-106695 A	20000329
CA 2404474	AA	20011004	CA 2001-2404474	20010328

			EP 2000-106695	A 20000329
			WO 2001-EP3514	W 20010328
AU 2001060166	A5	20011008	AU 2001-60166	20010328
			EP 2000-106695	A 20000329
			WO 2001-EP3514	W 20010328
EP 1313740	A1	20030528	EP 2001-933769	20010328
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
			EP 2000-106695	A 20000329
			WO 2001-EP3514	W 20010328
BR 2001009483	A	20030610	BR 2001-9483	20010328
			EP 2000-106695	A 20000329
			WO 2001-EP3514	W 20010328
JP 2003528878	T2	20030930	JP 2001-570665	20010328
			EP 2000-106695	A 20000329
			WO 2001-EP3514	W 20010328
NZ 520837	A	20050128	NZ 2001-520837	20010328
			EP 2000-106695	A 20000329
			WO 2001-EP3514	W 20010328
ZA 2002007637	A	20040408	ZA 2002-7637	20020923
			EP 2000-106695	A 20000329
NO 2002004662	A	20020927	NO 2002-4662	20020927
			EP 2000-106695	A 20000329
			WO 2001-EP3514	W 20010328
US 2003125327	A1	20030703	US 2002-182619	20021001
			EP 2000-106695	A 20000329
			WO 2001-EP3514	W 20010328
US 2004198764	A1	20041007	US 2004-826337	20040419
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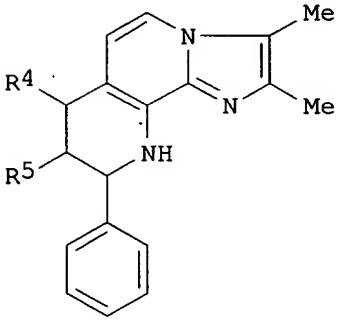
			WO 2001-EP3514	W 20010328
			US 2002-182619	B1 20021001

OS MARPAT 135:272986

GI



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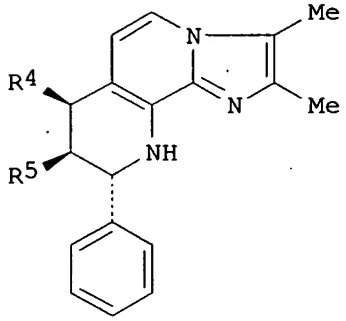


II

AB Imidazopyridines, such as I [R4, R5 = OH, alkoxy, alkylcarbonyloxy, carbamoyloxy, alkyloxycarbonyloxy, etc.], were prepared for pharmaceutical use as prodrugs for the treatment of gastrointestinal disorders, such as gastrointestinal inflammatory diseases and lesions and gastric acid related diseases. Thus, imidazopyridine II [R4 = O(CH2)2OMe, R5 = COMe] was prepared via O-alkylation of the corresponding diol II (R4 = R5 = OH) with MeO(CH2)2OH followed by acetylation with acetic anhydride. The prepared imidazopyridines were tested for their inhibition of stomach acid secretion of perfused rat stomach stimulated by pentagastrin.

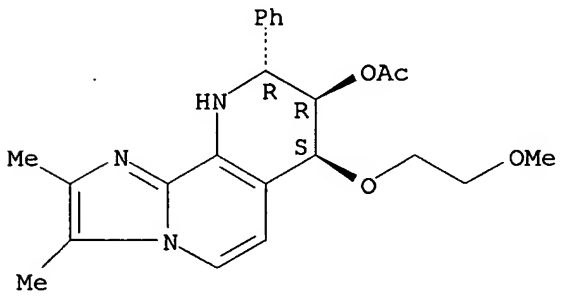
IT **362524-94-5P 362524-98-9P 362525-15-3P**
362525-44-8P 362525-60-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of imidazopyridine prodrugs for prevention and treatment of gastrointestinal diseases)

RN 362524-94-5 CAPLUS

CN Imidazo[1,2-h][1,7]naphthyridin-8-ol, 7,8,9,10-tetrahydro-7-(2-methoxyethoxy)-2,3-dimethyl-9-phenyl-, acetate (ester), (7S,8R,9R)- (9CI) (CA INDEX NAME)

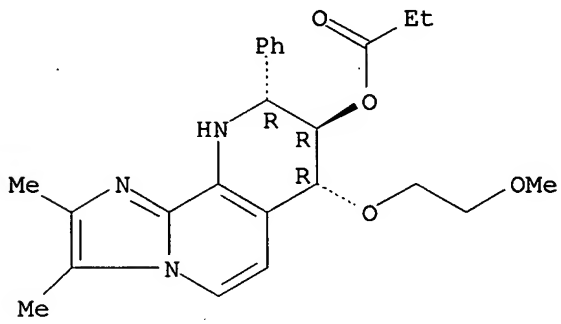
Absolute stereochemistry.



RN 362524-98-9 CAPLUS

CN Imidazo[1,2-h][1,7]naphthyridin-8-ol, 7,8,9,10-tetrahydro-7-(2-methoxyethoxy)-2,3-dimethyl-9-phenyl-, propanoate (ester), (7R,8R,9R)- (9CI) (CA INDEX NAME)

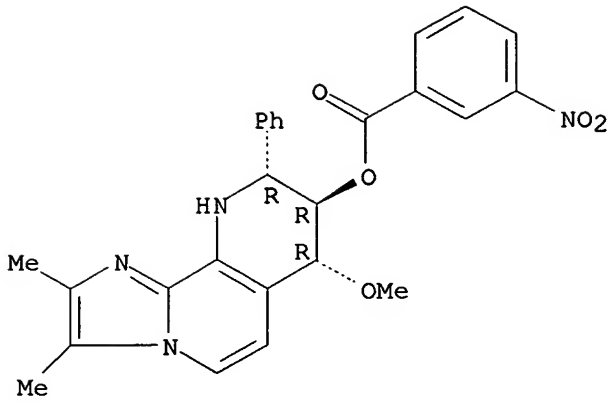
Absolute stereochemistry.



RN 362525-15-3 CAPLUS

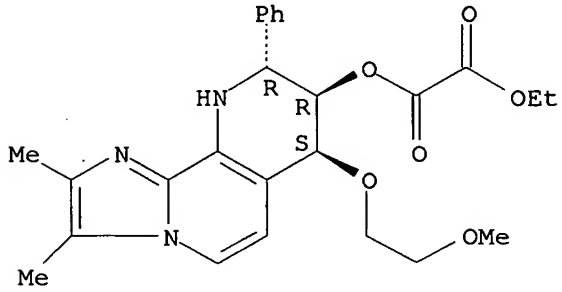
CN Imidazo[1,2-h][1,7]naphthyridin-8-ol, 7,8,9,10-tetrahydro-7-methoxy-2,3-dimethyl-9-phenyl-, 3-nitrobenzoate (ester), (7R,8R,9R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



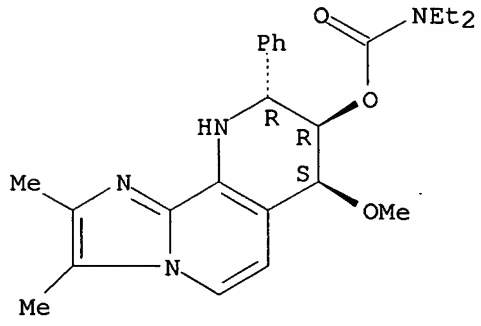
RN 362525-44-8 CAPLUS
CN Ethanedioic acid, ethyl (7S,8R,9R)-7,8,9,10-tetrahydro-7-(2-methoxyethoxy)-2,3-dimethyl-9-phenylimidazo[1,2-h][1,7]naphthyridin-8-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 362525-60-8 CAPLUS
CN Carbamic acid, diethyl-, (7S,8R,9R)-7,8,9,10-tetrahydro-7-methoxy-2,3-dimethyl-9-phenylimidazo[1,2-h][1,7]naphthyridin-8-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2001:730747 CAPLUS
DN 135:272962
TI Preparation of alkylated imidazopyridine derivatives

IN Postius, Stefan; Kromer, Wolfgang; Senn-Bilfinger, Joerg; Buhr, Wilm
PA BYK Gulden Lomberg Chemische Fabrik GmbH, Germany; Simon, Wolfgang-Alexander; Altana Pharma AG
SO PCT Int. Appl., 57 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
PI	WO 2001072754	A1	20011004	WO 2001-EP3507		20010328
	WO 2001072754	C1	20030213			
	WO 2001072754	C2	20040506			
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	RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR					
				EP 2000-106696	A	20000329
	CA 2404460	AA	20011004	CA 2001-2404460		20010328
				EP 2000-106696	A	20000329
				WO 2001-EP3507	W	20010328
	AU 2001044225	A5	20011008	AU 2001-44225		20010328
				EP 2000-106696	A	20000329
				WO 2001-EP3507	W	20010328
	EP 1313739	A1	20030528	EP 2001-917121		20010328
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
				EP 2000-106696	A	20000329
				WO 2001-EP3507	W	20010328
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				EP 2000-106696	A	20000329
				WO 2001-EP3507	W	20010328
	JP 2003528876	T2	20030930	JP 2001-570663		20010328
				EP 2000-106696	A	20000329
				WO 2001-EP3507	W	20010328
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				WO 2001-EP3507	W	20010328
	ZA 2002007636	A	20030404	ZA 2002-7636		20020923
				EP 2000-106696	A	20000329
	NO 2002004597	A	20020925	NO 2002-4597		20020925
				EP 2000-106696	A	20000329
				WO 2001-EP3507	W	20010328
	US 2003158193	A1	20030821	US 2002-240039		20020927
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OS MARPAT 135:272962
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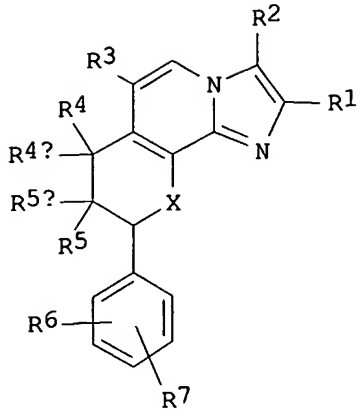

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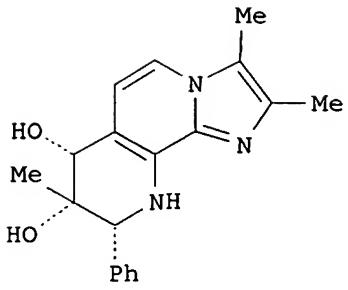


II

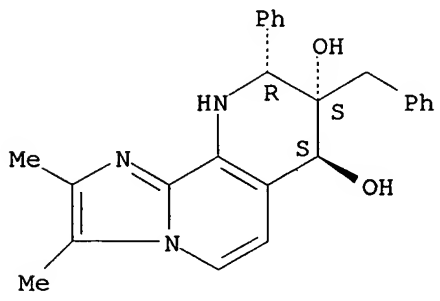
AB The title compds. I (R = H, alkyl, alkoxyalkyl, hydroxyalkyl; R2 = H, alkyl, hydroxyalkyl, halo, alkenyl, alkynyl; R3 = H, halo, F3C, alkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl carbamoyl; one of R4 and R4a is H, alkyl, alkenyl, Ph and the other is HO, alkoxy, alkoxyalkoxy, alkylcarbonyloxy, R4R4a = O, alkylidene; one of R5 and R5a is H, alkyl, alkenyl, Ph and the other is H, HO, alkoxy, alkoxyalkoxy, alkylcarbonyloxy, R5R5a = O, alkylidene; R6 = H, halo, alkyl, alkoxy, alkoxycarbonylamino, F3C; R7 = H, halo, alkyl, alkoxy; X = O, NH) were prepared for the prevention and treatment of gastrointestinal diseases. Thus, (8R9R)-2,3-dimethyl-8-hydroxy-9-phenyl-7,8,9,10-tetrahydroimidazo[1,2-h][1,7]napnthyridin-7-one was methylated with MeI followed by reduction with NaBH4 to give (7R,8R,9R)-2,3,8-trimethyl-7,8-dihydroxy-9-phenyl-7,8,9,10-tetrahydroimidazo[1,2-h][1,7]napnthyridine (II). At 1 μmol/kg (i.v.) II inhibited acid secretion of the perfused rat stomach stimulated pentagastrin by 100%.

IT **364041-26-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of alkylated imidazopyridine derivs.)

RN 364041-26-9 CAPLUS

CN Imidazo[1,2-h][1,7]naphthyridine-7,8-diol, 7,8,9,10-tetrahydro-2,3-dimethyl-9-phenyl-8-(phenylmethyl)-, (7S,8S,9R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2000:314698 CAPLUS
DN 132:334460
TI Preparation of imidazonaphthyridines for preventing and treating

gastrointestinal disorders

IN Grundler, Gerhard; Postius, Stefan; Simon, Wolfgang-Alexander; Kromer, Wolfgang; Senn-Bilfinger, Jorg

PA Byk Gulden Lomberg Chemische Fabrik G.m.b.H., Germany

SO PCT Int. Appl., 41 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2000026217	A1	20000511	WO 1999-EP8227	19991029
W: AE, AL, AU, BA, BG, BR, CA, CN, CZ, EE, GE, HR, HU, ID, IL, IN, JP, KR, LT, LV, MK, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, US, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
			EP 1998-120834 A	19981103
CA 2349476	AA	20000511	CA 1999-2349476	19991029
			EP 1998-120834 A	19981103
			WO 1999-EP8227 W	19991029
EP 1127059	A1	20010829	EP 1999-953956	19991029
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
			EP 1998-120834 A	19981103
			WO 1999-EP8227 W	19991029
JP 2002528548	T2	20020903	JP 2000-579605	19991029
			EP 1998-120834 A	19981103
			WO 1999-EP8227 W	19991029
US 6384048	B1	20020507	US 2001-807970	20010427
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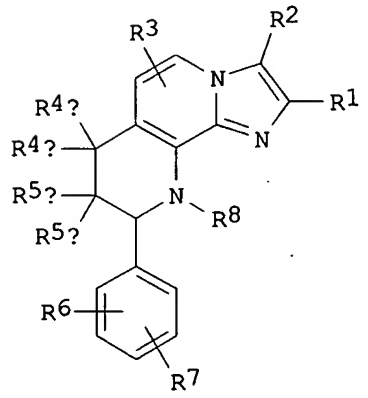
OS MARPAT 132:334460

GI



I

AB The title compds. [I; R1 = alkyl; R2 = alkyl, hydroxyalkyl; R3 = H, halo; one of the substituents of R4a and R4b = H and the other = H, OH, alkoxy, etc.; R4a and R4b together = O; one of substituents R5a and R5b = H and the other = H, OH, alkoxy, etc.; R5a and R5b together = O; R6 = H, halo, alkyl, etc.; R7 = H, halo, alkyl, alkoxy; R8 = H, alkyl], suitable for preventing and treating gastrointestinal disorders, were prepared Thus, treatment of (7R,8R,9R)-7,8-dihydroxy-2,3-dimethyl-9-phenyl-7,8,9,10-

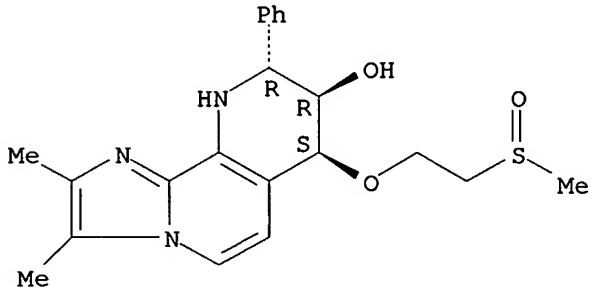
tetrahydroimidazo[1,2-h][1,7]naphthyridine, dissolved in dioxane and DMF, with concentrate H2SO4 and 2-methylmercaptoethanol afforded (7R,8R,9R)-I [R1, R2
= Me; R3 = H; R4a = O(CH2)2SMe; R4b = H; R5a = OH; R5b = H; R6-R8 = H] which showed 100% inhibition of acid secretion at 3 μM/kg (i.v.).

IT **267411-35-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of imidazonaphthyridines for preventing and treating gastrointestinal disorders)

RN 267411-35-8 CAPLUS

CN Imidazo[1,2-h][1,7]naphthyridin-8-ol, 7,8,9,10-tetrahydro-2,3-dimethyl-7-[2-(methylsulfinyl)ethoxy]-9-phenyl-, (7S,8R,9R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2000:210167 CAPLUS

DN 132:237093

TI Preparation of tetrahydropyridoethers for the prevention and treatment of gastrointestinal diseases

IN Postius, Stefan; Simon, Wolfgang-Alexander; Grundler, Gerhard; Hanauer, Guido; Huber, Reinhard; Kromer, Wolfgang; Sturm, Ernst; Senn-Bilfinger, Jorg

PA Byk Gulden Lomberg Chemische Fabrik G.m.b.H., Germany

SO PCT Int. Appl., 26 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2000017200	A1	20000330	WO 1999-EP6899	19990917
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RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
			DE 1998-19843504 A	19980923
			EP 1998-117988 A	19980923
CA 2344251	AA	20000330	CA 1999-2344251	19990917
			DE 1998-19843504 A	19980923
			EP 1998-117988 A	19980923
			WO 1999-EP6899 W	19990917
AU 9961920	A1	20000410	AU 1999-61920	19990917
AU 763463	B2	20030724		

			DE 1998-19843504	A	19980923
			EP 1998-117988	A	19980923
			WO 1999-EP6899	W	19990917
EP 1115725	A1	20010718	EP 1999-948776		19990917
EP 1115725	B1	20030129			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
			DE 1998-19843504	A	19980923
			EP 1998-117988	A	19980923
			WO 1999-EP6899	W	19990917
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			DE 1998-19843504	A	19980923
			EP 1998-117988	A	19980923
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			EP 1998-117988	A	19980923
			WO 1999-EP6899	W	19990917
EE 200100172	A	20020617	EE 2001-172		19990917
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AT 231862	E	20030215	AT 1999-948776		19990917
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ZA 2001002107	A	20020502	ZA 2001-2107		20010314
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			EP 1998-117988	A	19980923
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HK 1038360	A1	20030516	HK 2002-100042		20020103
			DE 1998-19843504	A	19980923

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				WO 1999-EP6899	W 19990917
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	US 2004162310	A1	20040819	US 2004-783512	20040223
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				EP 1998-117988	A 19980923
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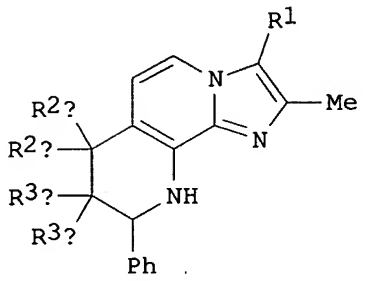
OS MARPAT 132:237093

GI



I

AB The title compds. [I; R1 = Me, CH2OH; one of the substituents R2a and R2b = H and the other = OH, OMe, OEt, etc.; one of the substituents R3a and R3b = H and the other = OH, OMe, OEt, etc., where R2a or R2b on the one hand and R3a or R3b on the other hand are not simultaneously OH], suitable for the prevention and treatment of gastrointestinal diseases, were prepared E.g., a synthesis of (7R,8R,9R)-I [R1 = Me; R2a = MeO; R2b = H; R3a = OH; R3b = H] by two different methods was presented. Gastric acid secretion inhibition data for compds. I was given.

IT **261944-49-4P**

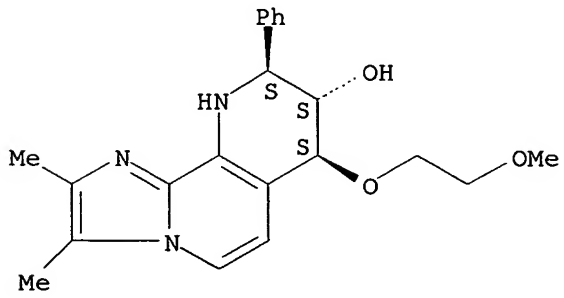
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tetrahydropyridoethers for the prevention and treatment of gastrointestinal diseases)

RN 261944-49-4 CAPLUS

CN Imidazo[1,2-h][1,7]naphthyridin-8-ol, 7,8,9,10-tetrahydro-7-(2-methoxyethoxy)-2,3-dimethyl-9-phenyl-, (7S,8S,9S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 13 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2000:124269 CAPLUS
DN 132:137385
TI Preparation of imidazonaphthyridines for prevention and treatment of gastrointestinal disease.
IN Senn-Bilfinger, Joerg; Grundler, Gerhard; Simon, Wolfgang-Alexander; Postius, Stefan; Riedel, Richard
PA Byk Gulden Lomberg Chemische Fabrik GmbH, Germany
SO S. African, 39 pp.
CODEN: SFXXAB
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
PI	ZA 9802445	A	19980924	ZA 1998-2445		19980323
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	TW 593320	B	20040621	TW 1998-87104064		19980319
				DE 1997-19712322	A	19970324
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	HR 980147	B1	20020831	HR 1998-980147		19980320
				DE 1997-19712322	A	19970324
				DE 1997-19747929	A	19971030

PATENT FAMILY INFORMATION:
FAN 1998:672548

	PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
PI	WO 9842707	A1	19981001	WO 1998-EP1615		19980319
	W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, GW, HU, ID, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG					
				EP 1997-104961	A	19970324
				DE 1997-19747929	A	19971030
	CA 2284747	AA	19981001	CA 1998-2284747		19980319
				EP 1997-104961	A	19970324
				DE 1997-19747929	A	19971030
				WO 1998-EP1615	W	19980319
	AU 9875208	A1	19981020	AU 1998-75208		19980319
	AU 740578	B2	20011108			
				DE 1997-19747929	A	19971030
				WO 1998-EP1615	W	19980319
	EP 971922	A1	20000119	EP 1998-922622		19980319
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				EP 1997-104961	A	19970324
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				DE 1997-19747929	A	19971030
HR 980147	B1	20020831		HR 1998-980147		19980320
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				WO 1998-EP1615	W	19980319
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NO 314084	B1	20030127				
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				DE 1997-19747929	A	19971030
				WO 1998-EP1615	W	19980319
US 6197783	B1	20010306		US 1999-381617		19990924
				EP 1997-104961	A	19970324
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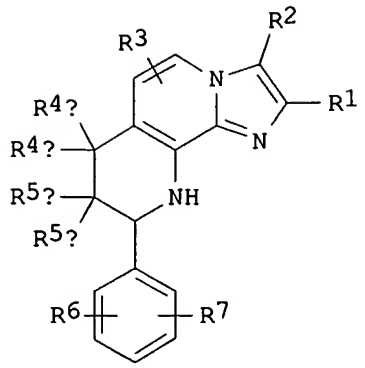
				WO 1998-EP1615	W	19980319

OS MARPAT 132:137385
GI



I

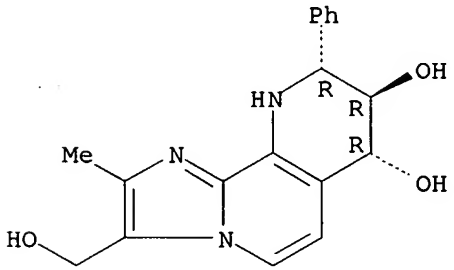
AB Title compds. (I; R1 = alkyl; R2 = alkyl, hydroxyalkyl; R3 = H, halo; 1 of R4a, R4b = H, the other = H, OH, alkoxy, alkoxyalkoxy, alkylcarbonyloxy; R4aR4b = O; 1 of R5a, R5b = H, the other = H, OH, alkoxy, alkoxyalkoxy, alkylcarbonyloxy; R5aR5b = O; 1 of R4a, R4b with 1 of R5a, R5b = OCH2O, OCH2CH2O, the others = H; R6 = H, halo, alkyl, alkoxy, alkoxycarbonylamino, alkoxyalkoxycarbonylamino, CF3; R7 = H, halo, alkyl, alkoxy), were prepared Thus, 2,3-dimethyl-7-(3-phenyl-1-oxo-2-propenyl)-8-pivaloylaminoimidazo[1,2-a]pyridine (preparation given) was refluxed with concentrate HCl in dioxane to give 2,3-dimethyl-9-phenyl-7,8,9,10-tetrahydroimidazo[1,2-h][1,7]naphthyridin-7-one. Several I at 3 μmol/kg i.v. in rats gave 100% inhibition of gastric acid secretion.

IT **214194-04-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of imidazonaphthyridines for prevention and treatment of gastrointestinal disease)

RN 214194-04-4 CAPLUS

CN Imidazo[1,2-h][1,7]naphthyridine-7,8-diol, 7,8,9,10-tetrahydro-3-(hydroxymethyl)-2-methyl-9-phenyl-, (7R,8R,9R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L3 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1998:672548 CAPLUS

DN 129:290136

TI Preparation of 7,8,9,10-tetrahydroimidazo[1,2-h][1,7]naphthyridines for the prevention and treatment of gastrointestinal diseases

IN Simon, Wolfgang-Alexander; Postius, Stefan; Riedel, Richard; Senn-Bilfinger, Jorg; Grundler, Gerhard

PA Byk Gulden Lomberg Chemische Fabrik G.m.b.H., Germany

SO PCT Int. Appl., 40 pp.

CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
PI	WO 9842707	A1	19981001	WO 1998-EP1615		19980319
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	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG					
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				WO 1998-EP1615	W	19980319
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				WO 1998-EP1615	W	19980319
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PATENT FAMILY INFORMATION:

FAN 2000:124269

	PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
PI	ZA 9802445	A	19980924	ZA 1998-2445		19980323
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OS MARPAT 129:290136

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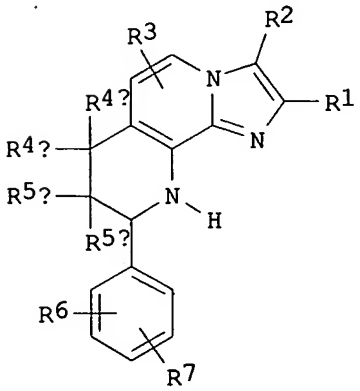


I

AB The title compds. [I; R1 = C1-4 alkyl; R2 = C1-4 alkyl, hydroxy-C1-4 alkyl; R3 = H, halo; one of R4a and R4b = H and the other = H, OH, C1-4 alkoxy, etc.; R4aR4b = O; one of R5a and R5b = H and the other = H, OH,

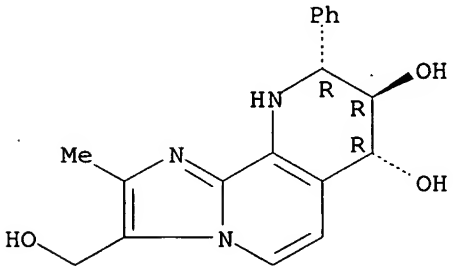
C1-4 alkoxy, etc.; R5aR5b = O; R6 = H, halo, C1-4 alkyl, etc.; R7 = H, halo, C1-4 alkyl, C1-4 alkoxy], useful in the prevention and treatment of gastrointestinal diseases, were prepared Thus, treatment of 2,3-dimethyl-9-phenyl-7,8,9,10-tetrahydroimidazo[1,2-h][1,7]naphthyridin-7-one (preparation described) with NaBH4 in MeOH afforded I [R1 = R2 = Me; R3, R4a, R5a, R5b, R6, R7 = H; R4b = OH] which showed 100% inhibition of acid secretion at 3 μM/kg.

IT **214194-04-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 7,8,9,10-tetrahydroimidazo[1,2-h][1,7]naphthyridines for the prevention and treatment of gastrointestinal diseases)

RN 214194-04-4 CAPLUS

CN Imidazo[1,2-h][1,7]naphthyridine-7,8-diol, 7,8,9,10-tetrahydro-3-(hydroxymethyl)-2-methyl-9-phenyl-, (7R,8R,9R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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